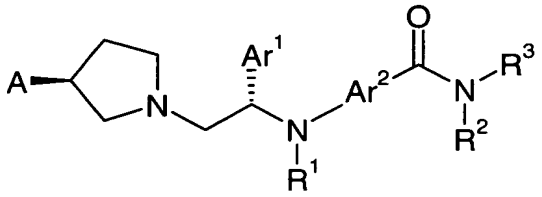
Claims

1. A single-step or multi-step process for the preparation of a compound of formula (XI):



(XI)

or a stereoisomer thereof, wherein;

A is hydrogen, hydroxy, C_1-C_6 (preferably C_1-C_4) alkyl, C_1-C_6 (preferably C_1-C_4) fluoroalkyl (particularly -CF_3), C_1-C_6 (preferably C_1-C_4) alkoxy, or OY wherein Y is a hydroxy protecting group or A, taken together with its geminal hydrogen, is an oxo group;

Ar^1 is phenyl optionally substituted by one or more (preferably one to two) substituents selected from fluoro, C_1-C_4 alkyl, C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy, trifluoromethyl, carboxy-C_1-C_4 alkoxy and C_1-C_4 alkoxycarbonyl-C_1-C_4 alkoxy;

Ar^2 is phenyl, naphthyl, pyridyl, thienyl, furyl, pyrrolyl or pyrimidyl, each being optionally substituted by one or more (preferably one to two) substituents selected from fluoro, C_1-C_4 alkyl, C_1-C_4 alkoxy, di(C_1-C_4)alkylamino and C_1-C_4 fluoroalkyl;

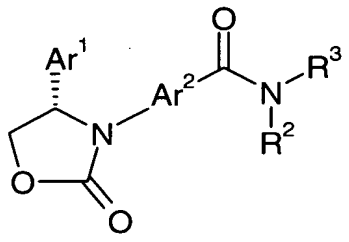
R^1 is C_1-C_6 alkyl or benzyl wherein the phenyl moiety of said benzyl is optionally substituted with C_1-C_6 alkoxy or OY wherein Y is a hydroxy protecting group; and

R^2 and R^3 are independently selected from hydrogen, C_1-C_7 alkyl optionally substituted by one or more (preferably one to five) hydroxy or halo groups, C_3-C_6 cycloalkyl, C_2 -C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_7 (preferably C_1-C_5) alkoxy, phenyl optionally substituted by fluoro (preferably substituted by one or two fluoro groups), phenyl-C_1-C_7 (preferably C_1-C_5) alkyl wherein the phenyl group is optionally substituted by fluoro, and -$(CH_2)_nX$—R^4 wherein n is one or two, X is O or S and R^4 is C_1-C_3 alkyl, or, when Ar^2 is phenyl, -Ar^2-C(=O)-N(R^2)- is a phthalimide group and R^3 is C_1-C_7 alkyl; or

R^2 and R^3, together with the nitrogen atom to which they are attached, form a pyrrolidine, piperidine or morpholine ring, optionally substituted by C_1 -C_3 alkyl or fluoro;

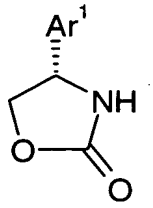
comprising a step in which the N-Ar^2 bond is constructed by a copper-mediated aryl amination.

2. A process as claimed in claim 1 wherein a compound of formula (IV):



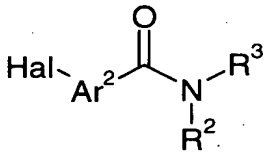
(IV)

or the enantiomer thereof, wherein Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, is prepared by treating a compound of formula (II):



(II)

or the enantiomer thereof, wherein Ar^1 is as defined in claim 1, with a compound of formula (III):



(III)

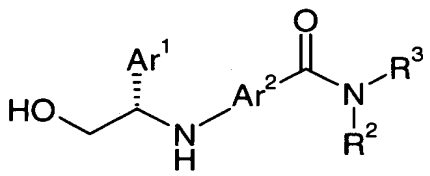
wherein Ar^2, R^2 and R^3 are as defined in claim 1 and wherein one unsubstituted position on the Ar^2 moiety is substituted with a halogen group Hal, preferably Cl, Br or I, most preferably Br, in the presence of a cuprous salt, an amino ligand and a base.

3. A process as claimed in claim 2 wherein the cuprous salt is CuI, CuBr or CuCl.

4. A process as claimed in claim 2 wherein the amino ligand is 1,2 diaminocyclohexane.

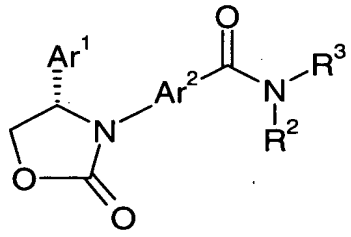
5. A process as claimed in claim 2 wherein the base is sodium carbonate, potassium carbonate or cesium carbonate.

6. A process as claimed in claim 1 wherein a compound of formula (V):



(V)

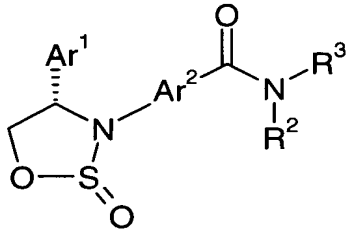
or the enantiomer thereof, wherein Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, is prepared by treating a compound of formula (IV):



(IV)

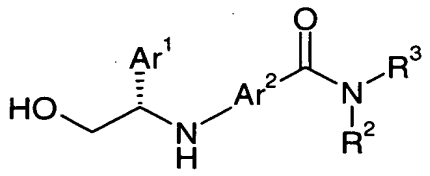
or the enantiomer thereof, wherein Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, with a base in the presence of water.

7. A process as claimed in claim 1 wherein a compound of formula formula (VI):



(VI)

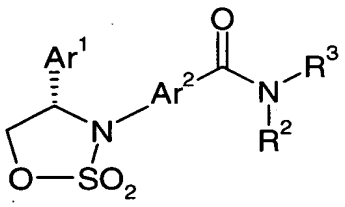
wherein Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, or the enantiomer thereof, is prepared by treating a compound of formula (V):



(V)

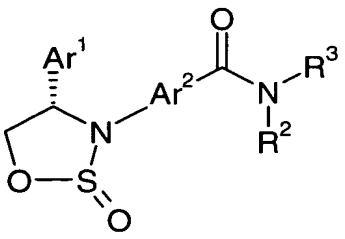
or the enantiomer thereof, wherein Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, with a thionyl halide.

8. A process as claimed in claim 1 wherein a compound of formula (VII):



(VII)

wherein Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, or the enantiomer thereof, is prepared by oxidising a compound of formula (VI):

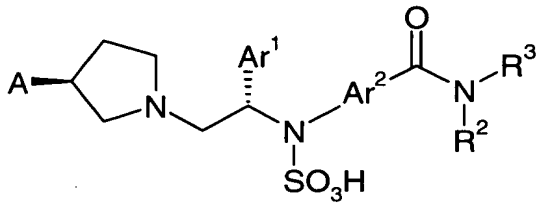


(VI)

wherein Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, or the enantiomer

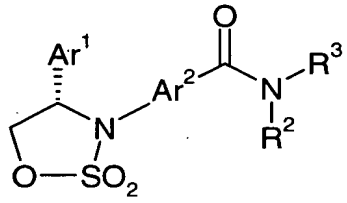
thereof.

9. A process as claimed in claim 1 wherein a compound of formula (IX):



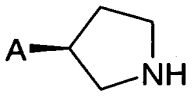
(IX)

wherein A, Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, or a zwitterion thereof, or a stereoisomer of either, is prepared by treating a compound of formula (VII):



(VII)

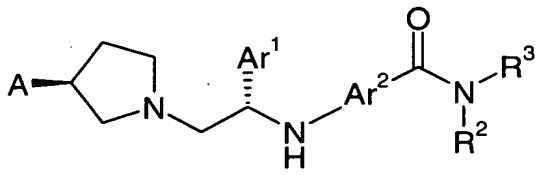
wherein Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, or the enantiomer thereof, with a compound of formula (VIII):



(VIII)

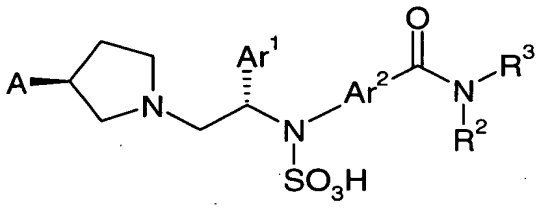
wherein A is as defined in claim 1, or the enantiomer thereof.

10. A process as claimed in claim 1 wherein a compound of formula (X):



(X)

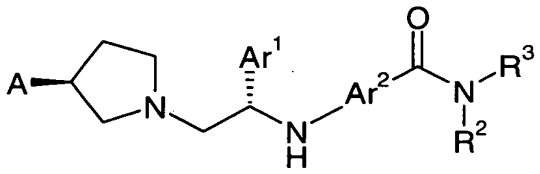
wherein A, Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, or a stereoisomer thereof is prepared by hydrolytically cleaving the $-SO_3H$ group in a compound of formula (IX):



(IX)

wherein A, Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1, or a zwitterion thereof, or a stereoisomer of either.

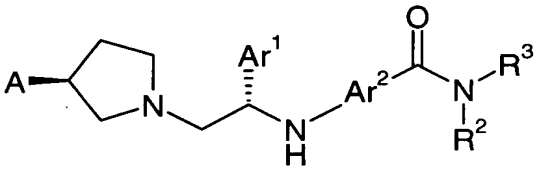
11. A process as claimed in claim 1 wherein a compound of the formula (XI), as defined in claim 1, or a stereoisomer thereof, is prepared by the reductive alkylation of a compound of formula (X):



(X)

wherein A, Ar^1, Ar^2, R^2 and R^3 are as defined above, or a stereoisomer thereof.

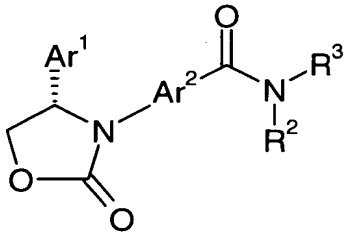
12. A process for the preparation of a compound of formula (XI), as defined in claim 1, or a stereoisomer thereof, comprising the reductive amination of a compound of formula (X):



(X)

or a stereoisomer thereof, wherein A, Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1.

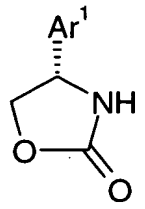
13. A process for the preparation of a compound of formula (IV):



(IV)

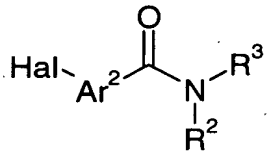
or the enantiomer thereof, wherein Ar^1, Ar^2, R^2 and R^3 are as defined in

claim 1, comprising treating a compound of formula (II):



(II)

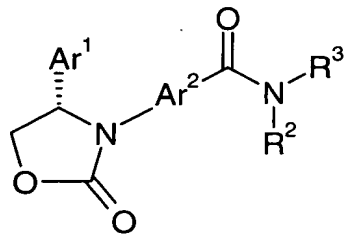
or the enantiomer thereof, wherein Ar^1 is as defined in claim 1, with a compound of formula (III):



(III)

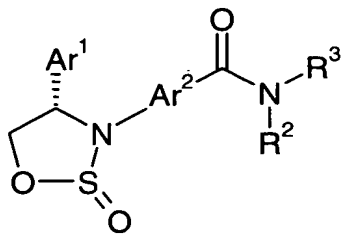
wherein Ar^2, R^2 and R^3 are as defined in claim 1 and wherein one unsubstituted position on the Ar^2 moiety is substituted with a halogen group Hal, preferably Cl, Br or I, most preferably Br, in the presence of a cuprous salt, an amino ligand and a base.

14. A compound of formula:



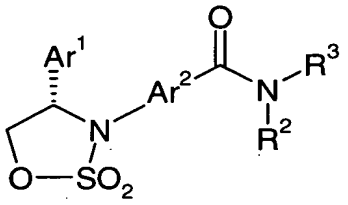
(IV)

or



(VI)

or



(VII)

wherein Ar^1, Ar^2, R^2 and R^3 are as defined in claim 1.